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WPI Acc no: 1997-402201/199737

Related WPI Acc No: 1996-116963

XRAM Acc no: C1997-129682

New sulphonylaryl-imidazole derivatives which are cyclooxygenase-2 selective inhibitors - headache, without causing side effects e.g. ulcers

Patent Assignee: SEARLE & CO G D (SEAR); COLLINS P W (COLL-I); HUFF R M (HUFF-I); WEIER R M (WEIE-I); XU X (XUXX-I); YU Y (YUYI-I)

Inventor: COLLINS P; COLLINS P W; HUFF R; HUFF R M; KHANNA I K; KOSZYK F; KOSZ WEIR R; XU X; YU U; YU Y

Patent Family (17 patents, 75 countries)

Patent Number	Kind	Date	Application Number	Kind	Date	Update	Type
WO 1997027181	A1	19970731	WO 1997US300	A	19970124	199737	B
AU 199715739	A	19970820	AU 199715739	A	19970124	199749	E
ZA 199700670	A	19980624	ZA 1997670	A	19970127	199831	E
EP 880504	A1	19981202	EP 1997901952	A	19970124	199901	E
			WO 1997US300	A	19970124		
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			WO 1997US300	A	19970124		
JP 2000503987	W	20000404	JP 1997526876	A	19970124	200027	E
			WO 1997US300	A	19970124		
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			EP 1997901952	A	19970124		
			WO 1997US300	A	19970124		

Priority Applications (no., kind, date): US 2005183016 A 20050715; US 2003653399 A 20031999101493 A 19990602; WO 1997US300 A 19970124; WO 1995US9506 A 19950727; US 19960126

US 6613789	B2	20030902	US 1994282395	A	19940728	200359	E	
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			US 1999101493	A	19990602			State:
			US 20014944	A	20011205			
AU 767993	B	20031127	AU 199715739	A	19970124	200404	NCE	Region
			AU 200111100	A	20010109			State:
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			US 2005183016	A	20050715			
PH 1199755403	B1	20041029	PH 199755403	A	19970127	200619	E	Region
								State:
								EP 88
								Region
								State:
								DE 69
								US 66

AU 76

ES 21

US 20

US 20

PH 11

Alerting Abstract WO A1

Sulphonylaryl-imidazole derivatives of formula (I) and their salts are new: in which R1, R2 = aminosulphonyl, alkylsulphonyl or haloalkylsulphonyl (AMS, ALS, or HALS), halo, cyano, hydroxyalkylthio, alkoxyalkyl, alkoxyalkylcarbonyl, amino, alkylamino, arylamino, or nitro; provided that a R1 is not an alkyl, haloalkyl, or hydroxyalkyl, 1-10C alkoxy or alkylthio, aralkyl, heterocycloalkyl, acyl, cycloalkylsulphonyl, haloalkylsulphonyl, arylsulphonyl, halogen, alkoxyalkyl, alkylcarbonyl, aryl, aminoalkyl, alkylaminoalkyl, N-arylaminoalkyl, N-alkyl-N-arylaminoalkyl, carboxyalkyl, alkoxyalkylaminocarbonyl, alkylaminocarbonylalkyl, heteroarylalkoxyalkyl, heteroaryloxyalkyl, heteroaryloxyalkyl arylthio, aryloxy, aralkylthioalkyl, aralkoxyalkyl, aryl, or heterocyclo; R4 = H, F, or Cl; and R5 is as claimed are sulphonylaryl-imidazole derivatives of formula (V): R4' = H, alkyl, or halo; and R5 is as claimed are cyclooxygenase (COX) inhibitors, with selectivity for COX-2 rather than COX-1, and are non-steroidal antiinflammatory drugs (NSAIDs), in the treatment of various forms of arthritis, lupus erythematosus, asthma, bronchitis, menstrual cramps, tendinitis, Crohn's disease, gastritis, irritable bowel, ulcerative colitis, prevention and treatment of cardiovascular disease, aplastic anaemia, Hodgkin's disease, scleroderma, rheumatic fever, type I diabetes, neuromuscular disease, sarcoidosis, nephrotic or Behcet's syndrome, polymyositis, gingivitis, nephritis, hypersensitivity reactions, conjunctivitis, eye tissue injury, pulmonary inflammation from viral infections or cystic fibrosis, distress or endotoxic shock syndromes, atherosclerosis, or CNS damage from stroke, ischemia, and the like. ADVANTAGE - As selective COX-2 inhibitors, (I) do not cause the severe side effects, including bleeding, associated with corticosteroids.

Title Terms /Index Terms/Additional Words: NEW; SULPHONYL; ARYL; IMIDAZOLE; DERIVATIVE;
RELATED; DISORDER; ARTHRITIS; PAIN; HEADACHE; CAUSE; SIDE; EFFECT; ULCER

Class Codes

International Patent Classification

IPC	Class Level	Scope	Position	Status	Version Date
C07D-233/32; C07D-233/54			Main		"Version 7"
A61K-031/4164; A61K-031/4178; A61K-031/422; A61K-031/4439; A61K-031/4725; A61P-029/00; C07D- 233/90; C07D-401/04; C07D-401/12; C07D-403/04; C07D-405/04; C07D- 409/04; C07D-413/04; C07D-417/04			Secondary		"Version 7"
A61K-0031/415	A	I		R	20060101
A61K-0031/4178	A	I		R	20060101
A61K-0031/4439	A	I		R	20060101
A61K-0031/4709	A	I		R	20060101
A61K-0031/5377	A	I		R	20060101
A61P-0029/00	A	I		R	20060101
C07D-0233/32	A	I		R	20060101
C07D-0233/54	A	I		R	20060101
C07D-0233/90	A	I		R	20060101
C07D-0401/04	A	I		R	20060101
C07D-0401/12	A	I		R	20060101
C07D-0403/04	A	I		R	20060101
C07D-0405/04	A	I		R	20060101
C07D-0409/04	A	I		R	20060101
C07D-0413/02	A	I		R	20060101
C07D-0413/04	A	I		R	20060101
C07D-0417/04	A	I		R	20060101
C07D-0419/04	A	I		R	20060101
A61K-0031/415	C	I		R	20060101
A61K-0031/4164	C	I		R	20060101
A61K-0031/4427	C	I		R	20060101
A61K-0031/4709	C	I		R	20060101
A61K-0031/5375	C	I		R	20060101
A61P-0029/00	C	I		R	20060101
C07D-0233/00	C	I		R	20060101
C07D-0401/00	C	I		R	20060101
C07D-0403/00	C	I		R	20060101
C07D-0405/00	C	I		R	20060101

C07D-0409/00	C	I	R	20060101
C07D-0413/00	C	I	R	20060101
C07D-0417/00	C	I	R	20060101
C07D-0419/00	C	I	R	20060101

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File Segment: CPI

DWPI Class: B02; B03

Manual Codes (CPI/A-N): B07-D09; B14-A02; B14-C01; B14-C03; B14-C04; B14-C09; B14-E01; B14-F01E; B14-F02D; B14-F03; B14-G02A; B14-H01; B14-J01; B14-J01A3; B14-K01; B14-K01A; B14-K01D; B14-N03; B14-N16; B14-N17A; B14-N17C; B14-S01; B14-S04

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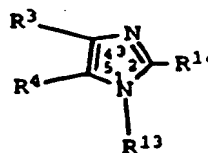
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(21) International Application Number: PCT/US97/00300 (22) International Filing Date: 24 January 1997 (24.01.97) (30) Priority Data: 08/592,167 26 January 1996 (26.01.96) US (60) Parent Application or Grant (63) Related by Continuation US 08/592,167 (CON) Filed on 26 January 1996 (26.01.96) (71) Applicant (for all designated States except US): G.D. SEARLE & CO. [US/US]; Corporate Patent Dept., P.O. Box 5110, Chicago, IL 60680-5110 (US). (72) Inventors; and (75) Inventors/Applicants (for US only): KHANNA, Ish, K. [IN/US]; 149 Brandywine Court, Vernon Hills, IL 60061 (US). WEIER, Richard, M. [US/US]; 240 Hickory Court, Lake Bluff, IL 60044 (US). COLLINS, Paul, W. [US/US]; 1557 Hawthorne Place, Deerfield, IL 60015 (US). YU, Ui [CN/US]; 9065 Gross Point Road, GW, Skokie, IL 60077 (US). XU, Xiangdong [CN/US]; Apartment 715,		855 Hinman Avenue, Evanston, IL 60202 (US). PARTIS, Richard, A. [US/US]; 2221 Noyes Street, Evanston, IL 60201 (US). KOSZYK, Francis, J. [US/US]; 11 Wildwood Drive South, Prospect Heights, IL 60070 (US). HUFF, Renee, M. [US/US]; 937 Lincoln Park, Park Ridge, IL 60068 (US). (74) Agents: WILLIAMS, Roger, A. et al.; G.D. Searle & Co., Corporate Patent Dept., P.O. Box 5110, Chicago, IL 60680- 5110 (US). (81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, ARIPO patent (KE, LS, MW, SD, SZ, UG), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG). Published With international search report.	

(54) Title: HETEROCYCLO-SUBSTITUTED IMIDAZOLES FOR THE TREATMENT OF INFLAMMATION

(57) Abstract

A class of imidazolyl compounds is described for use in treating inflammation. Compounds of particular interest are defined by formula (V), wherein R³ is a radical selected from hydrido, alkyl, haloalkyl, aralkyl, heterocycloalkyl, heteroaralkyl, acyl, cyano, alkoxy, alkylthio, alkylthioalkyl, alkylsulfonyl, cycloalkylthio, cycloalkylthioalkyl, cycloalkylsulfonyl, cycloalkylsulfonylalkyl, haloalkylsulfonyl, arylsulfonyl, halo, hydroxyalkyl, alkoxyalkyl, alkylcarbonyl, arylcarbonyl, aralkylcarbonyl, heterocyclocarbonyl, cyanoalkyl, aminoalkyl, alkylaminoalkyl, N-arylaminoalkyl, N-alkyl-N-arylaminoalkyl, carboxyalkyl, alkoxy-carbonylalkyl, alkoxycarbonyl, haloalkylcarbonyl, carboxyl, aminocarbonyl, alkylaminocarbonyl, alkylaminocarbonylalkyl, heteroarylalkoxyalkyl, heteroarylloxyalkyl, heteroarylthioalkyl, aralkoxy, aralkylthio, heteroaralkoxy, heteroaralkylthio, heteroarylalkylthioalkyl, heteroarylloxy, heteroarylthio, arylthioalkyl, aryloxyalkyl, arylthio, aryloxy, aralkylthioalkyl, aralkoxyalkyl, aryl and heteroaryl; wherein R⁴ is a radical selected from hydrido, alkyl and halo; and wherein R¹³ and R¹⁴ are independently selected from aryl and heterocyclo, wherein R¹³ and R¹⁴ are optionally substituted at a substitutable position with one or more radicals independently selected from alkylsulfonyl, aminosulfonyl, halo, alkylthio, alkyl, cyano, carboxyl, alkoxycarbonyl, haloalkyl, hydroxyl, alkoxy, hydroxyalkyl, alkoxyalkyl, haloalkoxy, amino, alkylamino, arylamino and nitro; provided at least one of R¹³ and R¹⁴ is aryl substituted with alkylsulfonyl or aminosulfonyl; or a pharmaceutically-acceptable salt thereof.



(V)